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NEWS 4 OCT 07 Multiple databases enhanced for more flexible patent
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enhanced
NEWS 6 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
Applications
NEWS 7 OCT 24 CHEMLIST enhanced with intermediate list of
pre-registered REACH substances
NEWS 8 NOV 21 CAS patent coverage to include exemplified prophetic
substances identified in English-, French-, German-,
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NEWS 9 NOV 26 MARPAT enhanced with FSORT command
NEWS 10 NOV 26 MEDLINE year-end processing temporarily halts
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NEWS 12 NOV 26 Two new SET commands increase convenience of STN
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NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
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FILE 'HOME' ENTERED AT 20:43:55 ON 16 DEC 2008

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY SESSION
0.21 0.21

FILE 'REGISTRY' ENTERED AT 20:44:09 ON 16 DEC 2008
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STRUCTURE FILE UPDATES: 15 DEC 2008 HIGHEST RN 1084993-68-9
DICTIONARY FILE UPDATES: 15 DEC 2008 HIGHEST RN 1084993-68-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

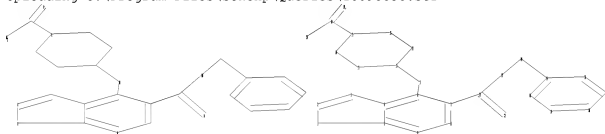
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<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10598838.str



chain nodes :
11 18 19 20 21 22 23 24
ring nodes :
1 2 3 4 5 6 7 8 9 12 13 14 15 16 17 25 26 27 28 29 30
chain bonds :
6-11 7-21 11-12 15-18 18-19 18-20 21-22 21-23 23-24 24-25
ring bonds :
1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 8-9 12-13 12-17 13-14 14-15 15-16
16-17 25-26 25-30 26-27 27-28 28-29 29-30
exact/norm bonds :

1-2 1-5 2-3 6-11 11-12 12-13 12-17 13-14 14-15 15-16 15-18 16-17 18-19
 18-20 21-22 21-23 23-24
 exact bonds :
 3-4 7-21 24-25
 normalized bonds :
 4-5 4-6 5-9 6-7 7-8 8-9 25-26 25-30 26-27 27-28 28-29 29-30
 isolated ring systems :
 containing 1 : 12 : 25 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS
 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom
 30:Atom

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 20:44:30 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 207 TO ITERATE

100.0% PROCESSED 207 ITERATIONS

73 ANSWERS

SEARCH TIME: 00.00.01

L2 73 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

178.57

FILE 'CAPLUS' ENTERED AT 20:44:34 ON 16 DEC 2008

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FILE COVERS 1907 - 16 Dec 2008 VOL 149 ISS 25

FILE LAST UPDATED: 15 Dec 2008 (20081215/ED)

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=> s l2 full

L3 3 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1042249 CAPLUS

DOCUMENT NUMBER: 143:347166

TITLE: Preparation of pyrazolo[3,4-b]pyridines as PDE4 inhibitors

INVENTOR(S): Cook, Caroline Mary; Dowle, Michael Dennis; Edlin, Christopher David; Johnson, Martin Redpath; Jones, Paul Spencer; Lindvall, Mika Kristian; Trivedi, Naimisha; Redgrave, Alison Judith

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090354	A1	20050929	WO 2005-GB987	20050315
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, MR, NE, SN, TD, TG			
EP 1740590	A1	20070110	EP 2005-718039	20050315
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV			
JP 2007529486	T	20071025	JP 2007-503404	20050315
US 20080275078	A1	20081106	US 2008-598973	20080505
PRIORITY APPLN. INFO.:			GB 2004-5893	A 20040316
			GB 2005-5214	A 20050314
			WO 2005-GB987	W 20050315
OTHER SOURCE(S):		CASREACT 143:347166; MARPAT 143:347166		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [R1 = alkyl, fluoroalkyl, CH₂CH₂OH; R2 = H, Me, fluoroalkyl; R3 = (un)substituted 4-(aminocarbonyl)cyclohexyl, N-aminocarbonylpiperidinyl, N-aminocarbonylpyrrolidinyl; R4 = H; R5 = II-V (wherein one or two of A, B, D, E and F = N, and the remaining of A-F are CH, CR6; R6 = halo, alkyl, fluoroalkyl, etc.; n = 0-2; G = O, S, NR9; R9 = H, alkyl, fluoroalkyl; none, one, two or three of J, L, M and Q = N, and the remaining of J-Q = CH, CR6; r = 0-2; m = 1-2)] that are inhibitors of phosphodiesterase type IV (PDE4) useful in the treatment and/or prophylaxis of inflammatory and/or allergic diseases such as chronic obstructive pulmonary disease (COPD), asthma, rheumatoid arthritis, allergic rhinitis, psoriasis or atopic dermatitis in a mammal such as a human, were prepared and formulated. Thus, amidation of the corresponding acid (preparation given) with 2,4-dimethylbenzylamine afforded VI which showed pIC₅₀ of 9.1-9.2 (± about 0.5) against PDE4B. Preps. of the pharmaceutical compns. (including micronization example) comprising the

comps. I were described.

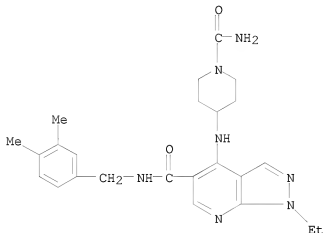
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865718-42-9P 865718-43-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of pyrazolo[3,4-b]pyridines as phosphodiesterase type 4 (PDE4)
inhibitors for treating inflammatory and allergic diseases)

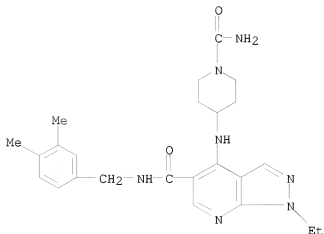
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CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3,4-dimethylphenyl)methyl]-
1-ethyl- (CA INDEX NAME)



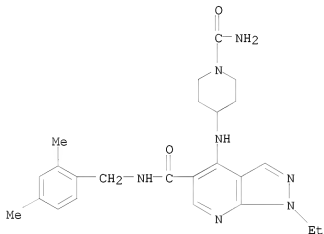
RN 865713-87-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3,4-dimethylphenyl)methyl]-
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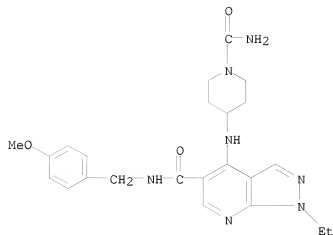


● HC1

RN 865718-02-1 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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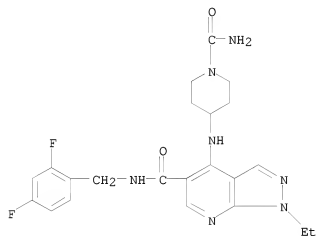


RN 865718-03-2 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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 methoxyphenyl)methyl]- (CA INDEX NAME)



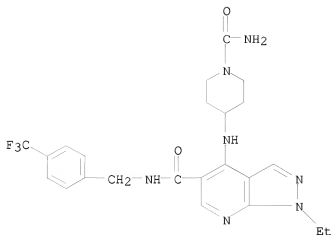
RN 865718-08-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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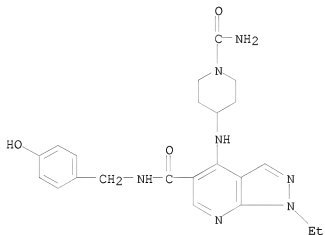
RN 865718-09-8 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[[4-(
(trifluoromethyl)phenyl)methyl]- (CA INDEX NAME)



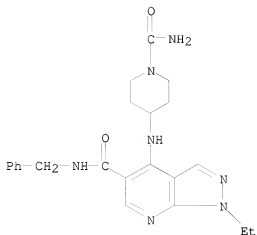
RN 865718-10-1 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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hydroxyphenyl)methyl]- (CA INDEX NAME)



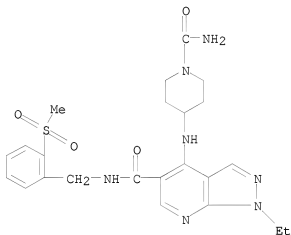
RN 865718-11-2 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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INDEX NAME)



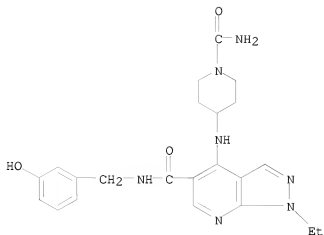
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CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(2-
(methylsulfonyl)phenyl)methyl]- (CA INDEX NAME)



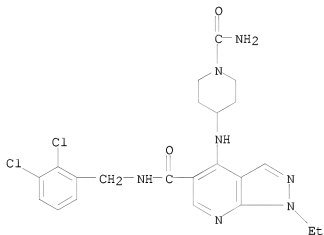
RN 865718-13-4 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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hydroxyphenyl)methyl]- (CA INDEX NAME)



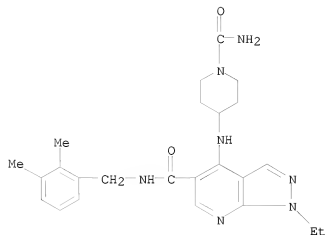
RN 865718-14-5 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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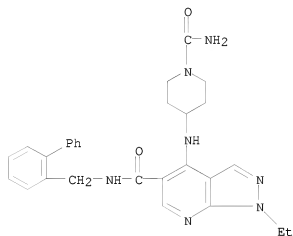
RN 865718-15-6 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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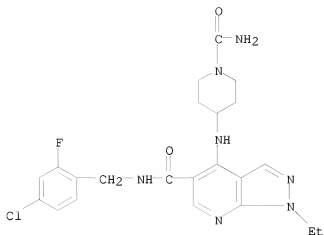
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CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-([1,1'-biphenyl]-2-ylmethyl)-
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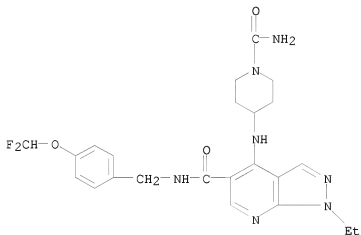
RN 865718-17-8 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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fluorophenyl)methyl]-1-ethyl- (CA INDEX NAME)



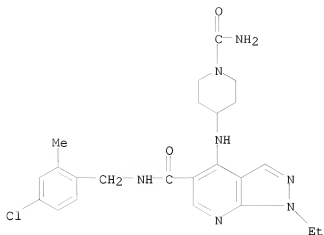
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CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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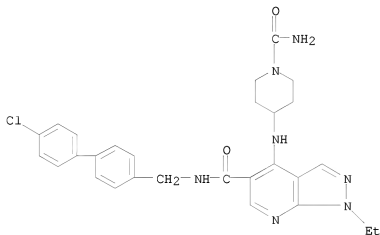
RN 865718-20-3 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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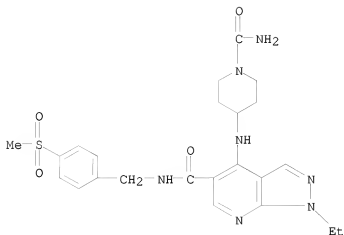
RN 865718-21-4 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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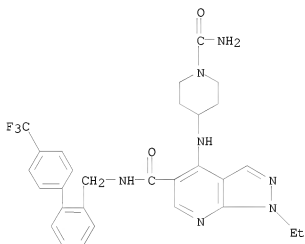
RN 865718-22-5 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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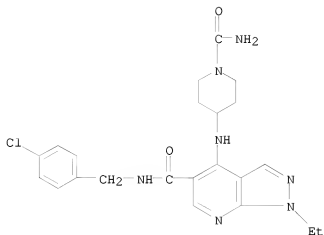
RN 865718-23-6 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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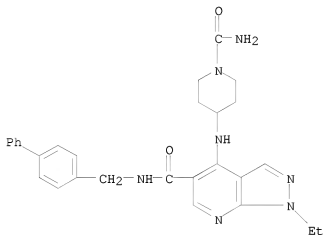
RN 865718-24-7 CAPLUS

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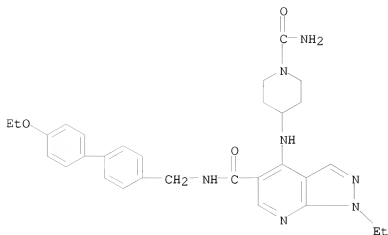
RN 865718-25-8 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-([1,1'-biphenyl]-4-ylmethyl)-
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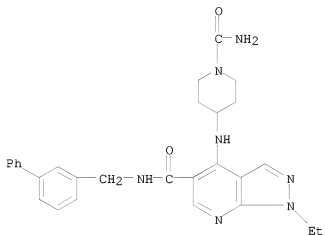
RN 865718-26-9 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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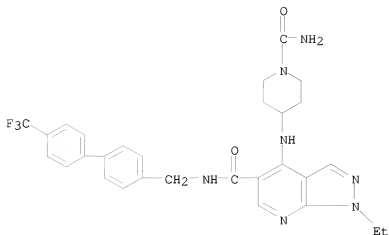
RN 865718-27-0 CAPLUS

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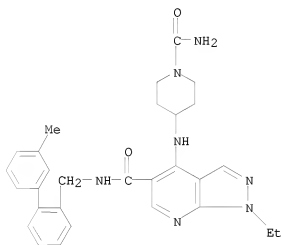
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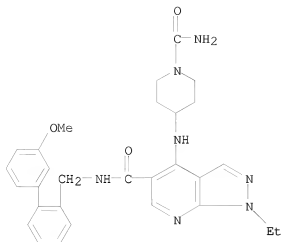
RN 865718-29-2 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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biphenyl]-2-yl)methyl]- (CA INDEX NAME)



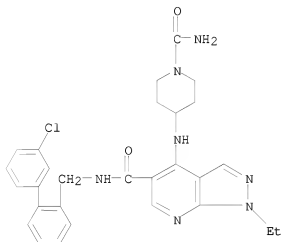
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biphenyl]-2-yl)methyl]- (CA INDEX NAME)



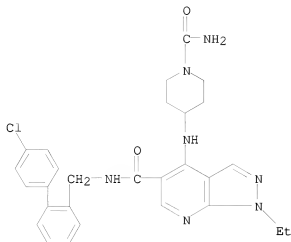
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CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3'-chloro[1,1'-biphenyl]-2-yl)methyl]-1-ethyl- (CA INDEX NAME)



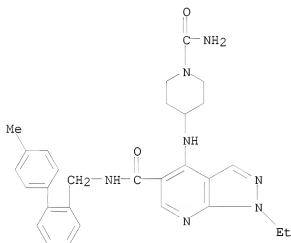
RN 865718-32-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]-1-ethyl- (CA INDEX NAME)



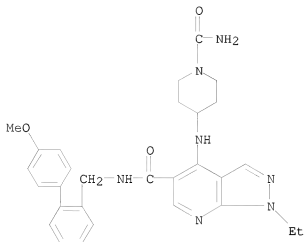
RN 865718-33-8 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(4'-methyl[1,1'-
biphenyl]-2-yl)methyl]- (CA INDEX NAME)

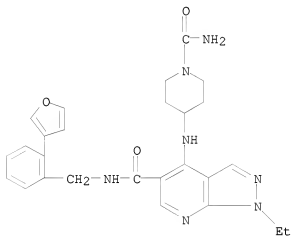


RN 865718-34-9 CAPLUS

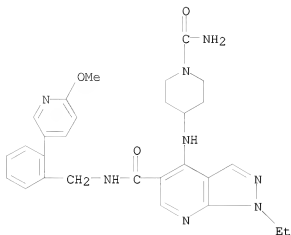
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(4'-methoxy[1,1'-
biphenyl]-2-yl)methyl]- (CA INDEX NAME)



RN 865718-35-0 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
 4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[[2-(3-
 furanyl)phenyl]methyl]- (CA INDEX NAME)

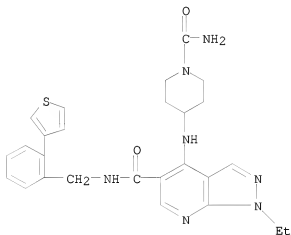


RN 865718-36-1 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
 4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[[2-(6-methoxy-3-
 pyridinyl)phenyl]methyl]- (CA INDEX NAME)



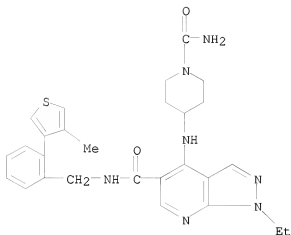
RN 865718-38-3 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[[2-(3-
thienyl)phenyl]methyl]- (CA INDEX NAME)



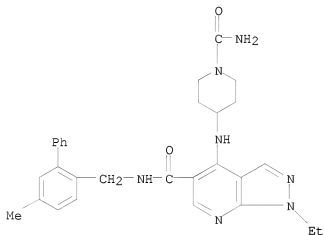
RN 865718-39-4 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[[2-(4-methyl-3-
thienyl)phenyl]methyl]- (CA INDEX NAME)



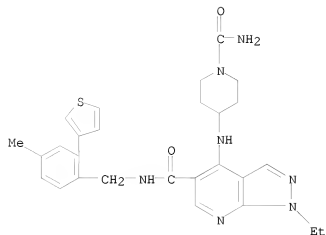
RN 865718-40-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(5-methyl[1,1'-
biphenyl]-2-yl)methyl]- (CA INDEX NAME)



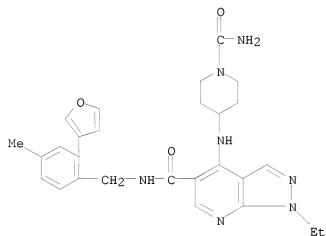
RN 865718-41-8 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[[4-methyl-2-(3-
thienyl)phenyl]methyl]- (CA INDEX NAME)



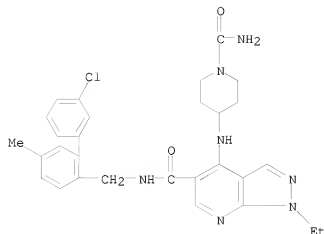
RN 865718-42-9 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[[2-(3-furanyl)-4-methylphenyl)methyl]- (CA INDEX NAME)



RN 865718-43-0 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3'-chloro-5-methyl[1,1'-biphenyl]-2-yl)methyl]-1-ethyl- (CA INDEX NAME)



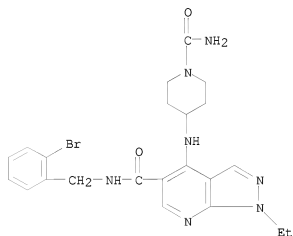
IT 865718-73-6P 865718-74-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolo[3,4-b]pyridines as phosphodiesterase type 4 (PDE4) inhibitors for treating inflammatory and allergic diseases)

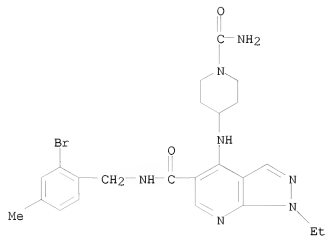
RN 865718-73-6 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(2-bromophenyl)methyl]-1-ethyl- (CA INDEX NAME)



RN 865718-74-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(2-bromo-4-methylphenyl)methyl]-1-ethyl- (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1042247 CAPLUS

DOCUMENT NUMBER: 143:347164

TITLE: Preparation of

4-([1-(aminocarbonyl)-4-piperidinyl]amino)-N-[(3,4-dimethylphenyl)methyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide as a PDE4 inhibitor

INVENTOR(S): Christensen, Siegfried Benjamin, IV; Cook, Caroline Mary; Edlin, Christopher David; Johnson, Martin Redpath; Jones, Paul Spencer; Lindvall, Mika Kristian; Sayani, Amyn Pyarali; Trivedi, Naimisha; Trotter, Lionel

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

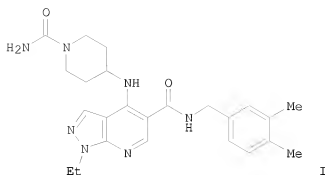
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090352	A1	20050929	WO 2005-EP3038	20050315
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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CA 2559629	A1	20050929	CA 2005-2559629	20050315
EP 1735314	A1	20061227	EP 2005-716291	20050315
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV			
BR 2005008843	A	20070828	BR 2005-8843	20050315
JP 2007529464	T	20071025	JP 2007-503306	20050315
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IN 2006KN02753	A	20070601	IN 2006-KN2753	20060920
NO 2006004450	A	20061114	NO 2006-4450	20061002
CN 101268078	A	20080917	CN 2005-80015276	20061113
US 20070280971	A1	20071206	US 2007-598838	20070711
PRIORITY APPLN. INFO.:			GB 2004-5893	A 20040316
			GB 2005-5214	A 20050314
			WO 2005-EP3038	W 20050315

OTHER SOURCE(S): CASREACT 143:347164; MARPAT 143:347164

GI



AB The invention provides 4-([1-(aminocarbonyl)-4-piperidinyl]amino)-N-[(3,4-dimethylphenyl)methyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide (I) or a salt thereof which is useful as an inhibitor of phosphodiesterase type IV (PDE4), for example in the treatment and/or prophylaxis of an inflammatory and/or allergic disease, cognitive impairment or depression in a mammal. E.g., a multi-step synthesis of I, starting from 5-amino-1-ethylpyrazole and di-Et ethoxymethylenemalonate, was given. The compound I was tested in various tests (data given). In particular, the invention provides the use of the compound I or its pharmaceutically acceptable salt in the treatment and/or prophylaxis of atopic dermatitis in a mammal, for example by external topical administration to the mammal such as a human. Pharmaceutical compns. containing said compound or salt, in particular suitable for external topical administration, are also provided and claimed.

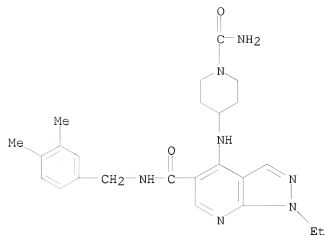
IT 865713-86-6P 865713-87-7P 865713-89-9P
865713-90-2P 865713-91-3P 865713-92-4P
865713-93-5P 865713-94-6P 865713-95-7P
865713-96-8P 865713-97-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[3,4-b]pyridine derivative as phosphodiesterase type 4 (PDE4) inhibitor for treating inflammatory and allergic diseases)

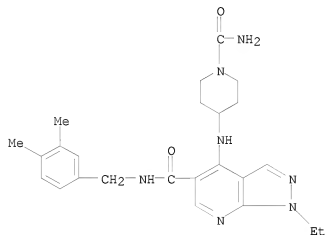
RN 865713-86-6 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-([1-(aminocarbonyl)-4-piperidinyl]amino)-N-[(3,4-dimethylphenyl)methyl]-1-ethyl- (CA INDEX NAME)



RN 865713-87-7 CAPLUS

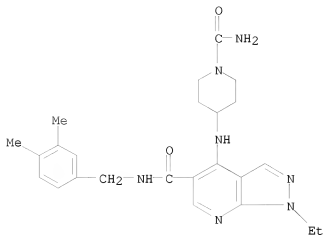
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3,4-dimethylphenyl)methyl]-
1-ethyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 865713-89-9 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3,4-dimethylphenyl)methyl]-
1-ethyl-, hydrobromide (1:1) (CA INDEX NAME)

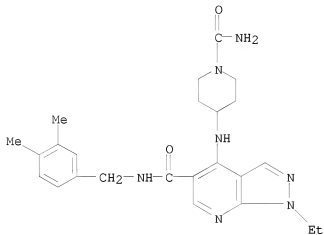


● HBr

RN 865713-90-2 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
 4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3,4-dimethylphenyl)methyl]-
 1-ethyl-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 865713-86-6
 CMF C24 H31 N7 O2



CM 2

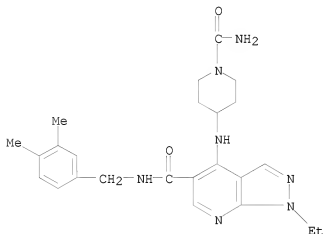
CRN 7664-93-9
 CMF H2 O4 S



RN 865713-91-3 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
 4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3,4-dimethylphenyl)methyl]-
 1-ethyl-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 865713-86-6
 CMF C24 H31 N7 O2



CM 2

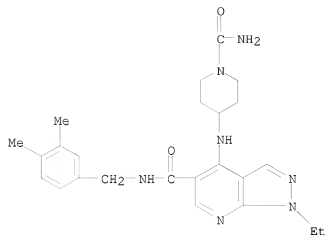
CRN 7697-37-2
 CMF H N O3



RN 865713-92-4 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
 4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3,4-dimethylphenyl)methyl]-
 1-ethyl-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 865713-86-6
 CMF C24 H31 N7 O2



CM 2

CRN 7664-38-2

CMF H3 O4 P



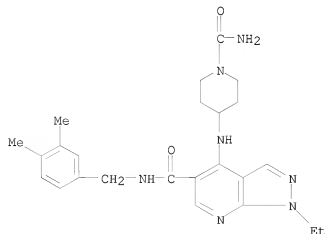
RN 865713-93-5 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3,4-dimethylphenyl)methyl]-
1-ethyl-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 865713-86-6

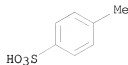
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CM 2

CRN 104-15-4

CMF C7 H8 O3 S



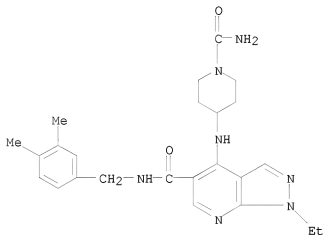
RN 865713-94-6 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3,4-dimethylphenyl)methyl]-
1-ethyl-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 865713-86-6

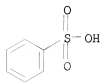
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CM 2

CRN 98-11-3

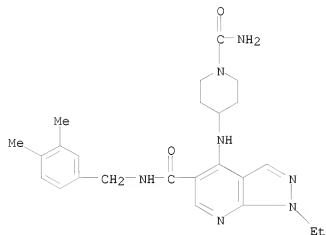
CMF C6 H6 O3 S



RN 865713-95-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3,4-dimethylphenyl)methyl]-
1-ethyl-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1
 CRN 865713-86-6
 CMF C24 H31 N7 O2

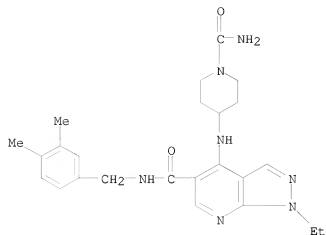


CM 2
 CRN 75-75-2
 CMF C H4 O3 S



RN 865713-96-8 CAPLUS
 CN Ethanesulfonic acid, compd. with 4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(3,4-dimethylphenyl)methyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide (1:1) (CA INDEX NAME)

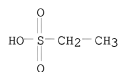
CM 1
 CRN 865713-86-6
 CMF C24 H31 N7 O2



CM 2

CRN 594-45-6

CMF C2 H6 O3 S



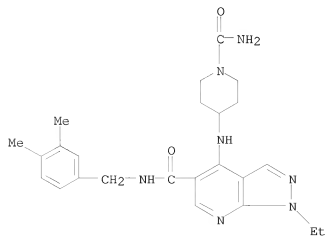
RN 865713-97-9 CAPLUS

CN Naphthalenesulfonic acid, compd. with
4-[[1-(aminocarbonyl)-4-piperidiny]amino]-N-[(3,4-dimethylphenyl)methyl]-
1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide (1:1) (9CI) (CA INDEX
NAME)

CM 1

CRN 865713-86-6

CMF C24 H31 N7 O2



CM 2

CRN 25155-19-5

CMF C10 H8 O3 S

CCI IDS



D1- SO₃H

REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:570893 CAPLUS

DOCUMENT NUMBER: 143:97353

TITLE: Preparation of pyrazolo[3,4-b]pyridines as phosphodiesterase, especially PDE4B, inhibitors for treatment of inflammatory and/or allergic diseases

INVENTOR(S): Allen, David George; Coe, Diane Mary; Cook, Caroline Mary; Dowle, Michael Dennis; Edlin, Christopher David; Hamblin, Julie Nicole; Johnson, Martin Redpath; Jones, Paul Spencer; Lindvall, Mika Kristian; Mitchell, Charlotte Jane; Redgrave, Alison Judith; Robinson, John Edward; Trivedi, Naimisha

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 295 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

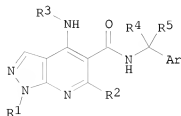
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

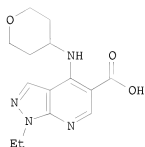
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058892	A1	20050630	WO 2004-EP14490	20041217
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2004056823	A1	20040708	WO 2003-EP14867	20031219
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AU 2004299277	A1	20050630	AU 2004-299277	20041217
CA 2557004	A1	20050630	CA 2004-2557004	20041217
EP 1737857	A1	20070103	EP 2004-804089	20041217
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV			
CN 1914205	A	20070214	CN 2004-80041657	20041217
JP 2007514704	T	20070607	JP 2006-544380	20041217
US 20070111995	A1	20070517	US 2006-596561	20060616
NO 2006003340	A	20060912	NO 2006-3340	20060718
US 20080132536	A1	20080605	US 2008-22372	20080130
PRIORITY APPLN. INFO.:			WO 2003-EP14867	A 20031219
			GB 2004-5899	A 20040316
			GB 2004-5936	A 20040316
			GB 2004-6754	A 20040325
			GB 2002-30045	A 20021223
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OTHER SOURCE(S):
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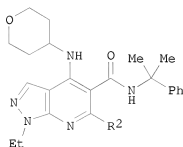
CASREACT 143:97353; MARPAT 143:97353



I



II



III

AB Title compds. I [wherein Ar = (un)substituted Ph, naphthyl, indanyl, pyridinyl, etc. with provisos; R1 = fluoro/alkyl, CH₂CH₂OH; R2 = H, Me, fluoroalkyl; R3 = (un)substituted cycloalkyl, monounsaturated cycloalkenyl, bicyclic, heterocyclic; R4 = H, Me, Et, Pr, i-Pr, fluoroalkyl, cyclopropyl, etc.; R5 = H, fluoro/alkyl, (un)substituted cycloalkyl, Ph, etc.; provided that at least one of R4 and R5 is not H; and salts thereof] were prepared as selective phosphodiesterase 4 (PDE4), especially PDE4B, inhibitors. The invention also provides for the use of I for the treatment and/or prophylaxis of an inflammatory and/or allergic disease, such as chronic obstructive pulmonary disease (COPD), asthma, rheumatoid arthritis, allergic rhinitis or atopic dermatitis. Eight biol. methods are given. For example, coupling of acid II with 2-phenyl-2-propanamine gave title compound III. Selected I inhibited PDE4B with IC₅₀ in the range of 10 μM. I are in particle size-reduced form (DC₅₀ value of about 0.5 to about 10 μm) when used in inhalant compns.

IT 856561-81-4P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(4-methylphenyl)ethyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
856561-82-5P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-phenylethyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
856561-83-6P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-[(1R)-1-(4-bromophenyl)ethyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
856561-84-7P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-[1-(2,4-dimethylphenyl)propyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
856561-85-8P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-[1-(3-chloro-4-methylphenyl)propyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
856561-86-9P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-[1-(4-chloro-2-fluorophenyl)propyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
856561-96-1P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-[(1R)-1-

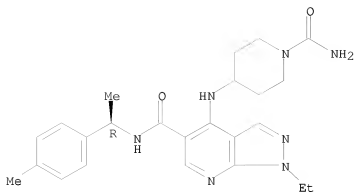
(2,5-dimethylphenyl)ethyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 856561-97-2P,
 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(4-ethylphenyl)ethyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856561-98-3P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(2-ethylphenyl)ethyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856561-99-4P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(2,4,6-trimethylphenyl)ethyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 856562-00-0P,
 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-[(1R)-1-(2,4-dimethylphenyl)propyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856562-01-1P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-1-(4-chlorophenyl)ethyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856562-02-2P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-phenylpropyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856562-03-3P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-1-(4-chlorophenyl)propyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856562-04-4P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-1-(4-fluorophenyl)propyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856562-05-5P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(4-methylphenyl)propyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856562-06-6P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(4-ethylphenyl)propyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856562-07-7P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(4-(1-methylethyl)phenyl)propyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 856562-08-8P,
 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-[(1R)-1-(4-chloro-2-fluorophenyl)propyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856562-09-9P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-[(1R)-1-(2,6-dimethylphenyl)propyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 856562-10-2P,
 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-[(1R)-1-(2,5-dimethylphenyl)propyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856562-11-3P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(2-ethylphenyl)propyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 856562-12-4P, 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(2,4,6-trimethylphenyl)propyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 856562-41-9P,
 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-N-[4-(dimethylamino)-1-(3-methylphenyl)-4-oxobutyl]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE4B inhibitor; preparation of pyrazolo[3,4-b]pyridines as PDE4 inhibitors for treatment of inflammatory and/or allergic diseases)

RN 856561-81-4 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
 4-[[1-(Aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(4-methylphenyl)ethyl]- (CA INDEX NAME)

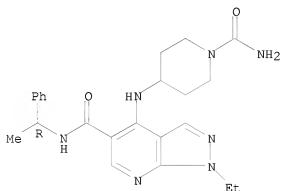
Absolute stereochemistry.



RN 856561-82-5 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-phenylethyl]-
(CA INDEX NAME)

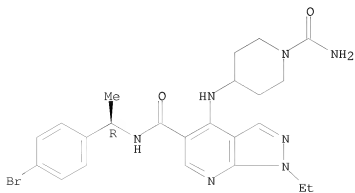
Absolute stereochemistry.



RN 856561-83-6 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(1R)-1-(4-bromophenyl)ethyl]-
1-ethyl- (CA INDEX NAME)

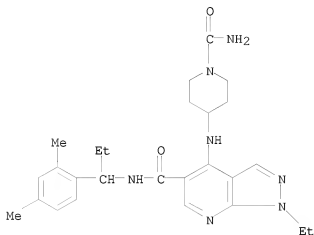
Absolute stereochemistry.



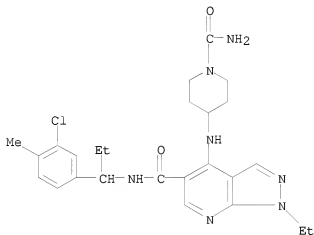
RN 856561-84-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,

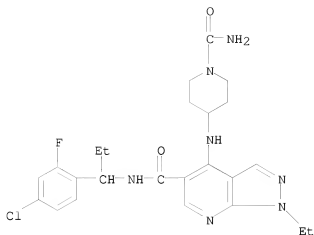
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[1-(2,4-dimethylphenyl)propyl]-1-ethyl- (CA INDEX NAME)



RN 856561-85-8 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[1-(3-chloro-4-methylphenyl)propyl]-1-ethyl- (CA INDEX NAME)



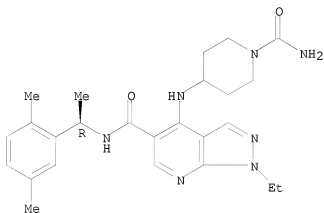
RN 856561-86-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[1-(4-chloro-2-fluorophenyl)propyl]-1-ethyl- (CA INDEX NAME)



RN 856561-96-1 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(1R)-1-(2,5-
dimethylphenyl)ethyl]-1-ethyl- (CA INDEX NAME)

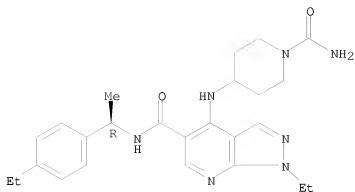
Absolute stereochemistry.



RN 856561-97-2 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(4-
ethylphenyl)ethyl]- (CA INDEX NAME)

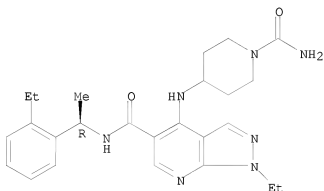
Absolute stereochemistry.



RN 856561-98-3 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(2-ethylphenyl)ethyl]- (CA INDEX NAME)

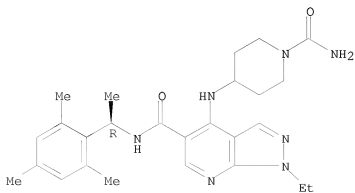
Absolute stereochemistry.



RN 856561-99-4 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(2,4,6-trimethylphenyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

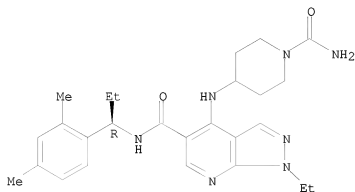


RN 856562-00-0 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,

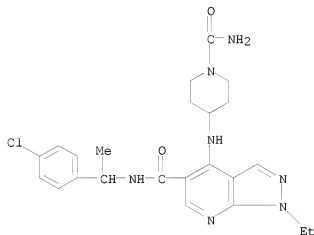
4-[[1-(aminocarbonyl)-4-piperidiny]amino]-N-[(1R)-1-(2,4-dimethylphenyl)propyl]-1-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 856562-01-1 CAPLUS

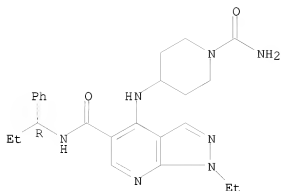
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidiny]amino]-N-[1-(4-chlorophenyl)ethyl]-1-ethyl- (CA INDEX NAME)



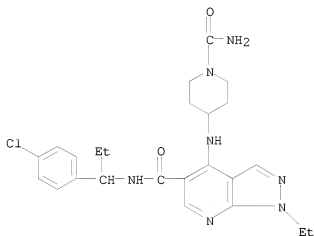
RN 856562-02-2 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidiny]amino]-1-ethyl-N-[(1R)-1-phenylpropyl]- (CA INDEX NAME)

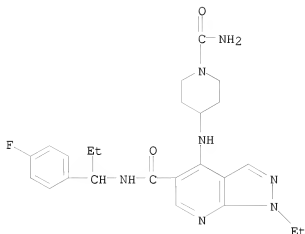
Absolute stereochemistry.



RN 856562-03-3 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
 4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[1-(4-chlorophenyl)propyl]-1-ethyl- (CA INDEX NAME)



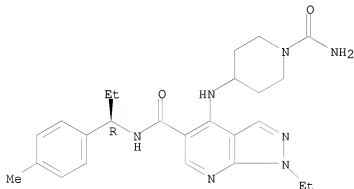
RN 856562-04-4 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
 4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[1-(4-fluorophenyl)propyl]- (CA INDEX NAME)



RN 856562-05-5 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(4-
methylphenyl)propyl]- (CA INDEX NAME)

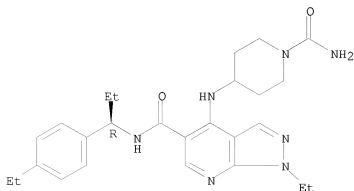
Absolute stereochemistry.



RN 856562-06-6 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(4-
ethylphenyl)propyl]- (CA INDEX NAME)

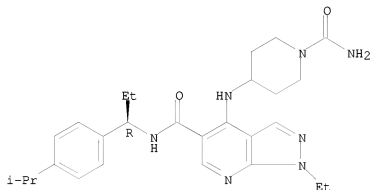
Absolute stereochemistry.



RN 856562-07-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-[4-(1-
methylethyl)phenyl]propyl]- (CA INDEX NAME)

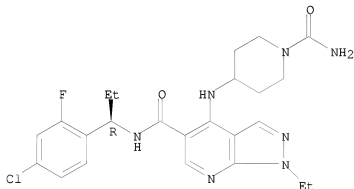
Absolute stereochemistry.



RN 856562-08-8 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(1R)-1-(4-chloro-2-
fluorophenyl)propyl]-1-ethyl- (CA INDEX NAME)

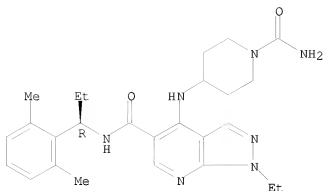
Absolute stereochemistry.



RN 856562-09-9 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[(1R)-1-(2,6-
dimethylphenyl)propyl]-1-ethyl- (CA INDEX NAME)

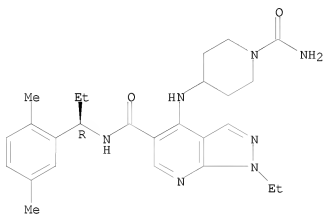
Absolute stereochemistry.



RN 856562-10-2 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
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dimethylphenyl)propyl]-1-ethyl- (CA INDEX NAME)

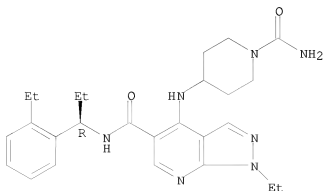
Absolute stereochemistry.



RN 856562-11-3 CAPLUS

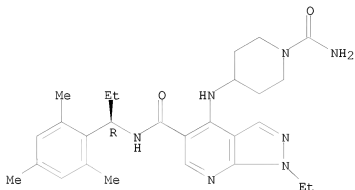
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(2-
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Absolute stereochemistry.

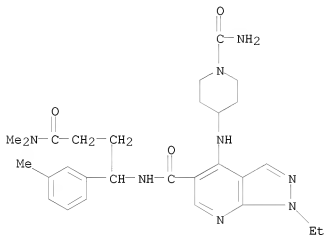


RN 856562-12-4 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
 4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-1-ethyl-N-[(1R)-1-(2,4,6-trimethylphenyl)propyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 856562-41-9 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide,
 4-[[1-(aminocarbonyl)-4-piperidinyl]amino]-N-[4-(dimethylamino)-1-(3-methylphenyl)-4-oxobutyl]-1-ethyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

18.75

197.32

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-2.40

-2.40

STN INTERNATIONAL LOGOFF AT 20:47:17 ON 16 DEC 2008